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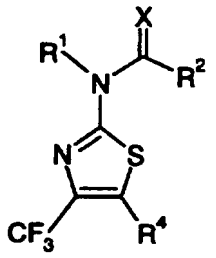
(54) Abstract Title
Insecticidal thiazole derivatives

(57) The use as an insecticide, acaricide or nematocide of a compound of formula (I):



(I)

wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$.

The compounds are novel except when X is oxygen, R^1 is hydrogen or alkyl, and R^4 is cyano.

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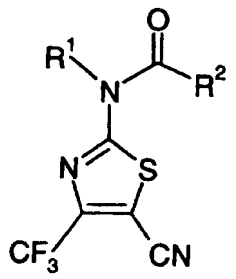
INSECTICIDAL THIAZOLE DERIVATIVES

This invention relates to the use of certain thiazole derivatives as insecticides, to insecticidal compositions comprising such thiazole derivatives, to novel thiazole derivatives, and to processes of preparing such novel thiazole derivatives.

European Patent Publication No. EP-A1-0566138 discloses thiazole derivatives of formula (A):

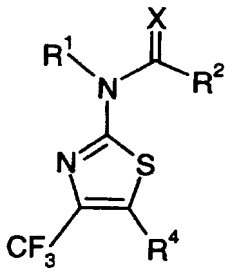


(A)

wherein R^1 is hydrogen or an alkyl group, and their use as agricultural fungicides.

The present invention concerns the use of the thiazole derivatives disclosed in the EP-A1-0566138, as well as other, novel, thiazole derivatives not disclosed therein, as insecticides.

Accordingly the present invention provides the use as an insecticide, acaricide or nematocide of a compound of formula (I):



(I)

wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally

substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$.

In a further aspect the invention provides the use of a composition comprising an insecticidally, acaricidally or nematocidally effective amount of a compound of formula (I) as defined above and a suitable carrier or diluent to combat and control insect pests at a locus, for example a growing agricultural crop.

Halogen includes fluorine, chlorine, bromine and iodine.

Alkyl moieties preferably contain from 1 to 10, more preferably from 1 to 6, carbon atoms. They can be in the form of straight or branched chains, for example methyl, ethyl, n- or iso-propyl, or n-, sec-, iso- or tert-butyl.

Haloalkyl is preferably C_{1-6} haloalkyl, especially fluoroalkyl (for example trifluoromethyl or 2,2,2-trifluoroethyl) or chloroalkyl (for example trichloromethyl or 2,2,2-trichloroethyl).

Alkenyl and alkynyl moieties preferably contain from 2 to 6, more preferably from 2 to 4, carbon atoms. They can be in the form of straight or branched chains, and, where appropriate, the alkenyl moieties can be of either (E)- or (Z)-configuration. Examples are vinyl, allyl and propargyl.

Heterocyclyl includes 5- and 6-membered rings containing one, two, three or four heteroatoms selected from the list comprising oxygen, sulphur and nitrogen and can be fused to benzenoid ring systems. Examples of heterocyclyl are pyridinyl, pyrimidinyl, pyridazinyl, pyrazinyl, triazinyl (1,2,3-, 1,2,4- and 1,3,5-), furyl, thienyl, pyrrolyl, pyrazolyl, imidazolyl, triazolyl (1,2,3- and 1,2,4-), tetrazolyl, oxazolyl, isoxazolyl, thiazolyl, isothiazolyl, oxadiazolyl, thiadiazolyl, quinolinyl, isoquinolinyl, cinnolinyl, quinazolinyl, quinoxalinyl, indolinyl, isoindolinyl, benzofuranyl, benzothienyl, benzimidazolinyl, piperidine, piperazine, pyrrolidine, tetrahydrofuran, morpholine or thietane.

The alkylenedioxy group is a substituent for a ring and is especially C_{1-4} alkylenedioxy. Alkylenedioxy groups are optionally substituted with halogen (especially flourine) and are, for example, methylenedioxy (OCH_2O) or difluoromethylenedioxy (OCF_2O).

Alkoxyalkyl is, for example, C_{1-4} alkoxy(C_{1-4})alkyl such as methoxymethyl or ethoxymethyl.

Cycloalkyl is preferably C_{3-7} cycloalkyl and is, for example, cyclopropyl, cyclopentyl or cyclohexyl.

In one aspect the present invention provides the use as an insecticide, acaricide or nematocide of a compound of formula (I), wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl (especially C_{1-10} alkyl), phenylalkyl (especially phenyl(C_{1-6})alkyl), alkoxyalkyl (especially C_{1-2} alkoxy(C_{1-2})alkyl) or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl (especially phenyl(C_{1-6})alkyl), naphthyl, alkyl (especially C_{1-10} alkyl), cycloalkyl (especially C_{3-7} cycloalkyl), alkenyl (especially C_{2-6} alkenyl), alkynyl (especially C_{2-6} alkynyl) or heterocyclyl (especially pyridinyl, pyrazolyl or quinolinyl) groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl (especially C_{2-6} alkenyl), alkynyl (especially C_{2-6} alkenyl), alkoxy (especially C_{1-10} alkoxy), alkoxycarbonyl (especially C_{1-6} alkoxycarbonyl), alkylcarbonyl (especially C_{1-6} alkylcarbonyl), alkylcarbonyloxy (especially C_{1-6} alkylcarbonyloxy), amino, alkylamino (especially mono- or di- (C_{1-4} alkyl)amino, such as mono- or di- (C_{1-3} alkyl)amino), haloalkoxy (especially C_{1-6} haloalkoxy), alkylthio (especially C_{1-4} alkylthio), alkylsulfonyl (especially C_{1-6} alkylsulfonyl), haloalkenyl (especially C_{2-6} haloalkenyl), alkoxycarbonylalkyl (especially C_{1-6} alkoxycarbonyl(C_{1-6})alkyl) or alkoxycarbonylalkoxy (especially C_{1-6} alkoxycarbonyl(C_{1-6})alkoxy); said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl (especially C_{1-10} haloalkyl), alkoxy (especially C_{1-10} alkoxy) or haloalkoxy (especially C_{1-6} haloalkoxy); said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl (especially C_{1-10} alkyl), alkenyl (especially C_{2-6} alkenyl), alkynyl (especially C_{2-6} alkynyl), haloalkyl (especially C_{1-10} haloalkyl), alkoxy (especially C_{1-10} alkoxy), alkoxycarbonyl (especially C_{1-6} alkoxycarbonyl), alkylcarbonyl (especially C_{1-6} alkylcarbonyl), alkylcarbonyloxy (especially C_{1-6} alkylcarbonyloxy), alkylenedioxy, amino, alkylamino (especially mono- or di- (C_{1-4} alkyl)amino, such as mono- or di- (C_{1-3} alkyl)amino), haloalkoxy (especially C_{1-6} haloalkoxy), alkylthio (especially C_{1-4} alkylthio), alkylsulfonyl (especially C_{1-6} alkylsulfonyl), haloalkenyl (especially C_{2-6} haloalkenyl), alkoxycarbonylalkyl (especially C_{1-6} alkoxycarbonyl(C_{1-6})alkyl) or alkoxycarbonylalkoxy (especially C_{1-6} alkoxycarbonyl(C_{1-6})alkoxy); said phenylalkyl, phenyl, naphthyl and

heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$.

In another aspect the present invention provides the use as an insecticide, acaricide or nematocide of a compound of formula (I), wherein X is oxygen.

In a further aspect the present invention provides the use as an insecticide, acaricide or nematocide of a compound of formula (I), wherein R^1 is hydrogen, C_{1-4} alkyl, benzyl, C_{1-2} alkoxymethyl or $C(O)(C_{1-4}$ alkyl); and R^2 is C_{1-4} alkyl, phenyl (optionally substituted by, cyano, halogen (especially fluorine or chlorine), C_{1-4} alkyl, C_{1-4} haloalkyl (especially CF_3), C_{2-4} alkenyl, C_{1-4} alkoxy, phenoxy, methylenedioxy, di(C_{1-4} alkyl)amino, C_{1-4} alkoxycarbonyl or pyridinyloxy (wherein the pyridinyl ring is optionally substituted by halogen or C_{1-4} haloalkyl (especially CF_3))), phenyl fused to a C_{3-6} cycloalkyl ring, naphthyl, C_{1-4} alkoxy(C_{1-4})alkyl, C_{3-6} cycloalkyl, pyridinyl, quinolinyl or pyrazolyl (optionally substituted by C_{1-4} alkyl or C_{1-4} haloalkyl (especially CF_3)).

Examples of specific compounds of formula (I) which are of use as insecticides include the compounds listed in Table I.

TABLE I

Compound No.	R^1	R^2	R^4	X
1	H	C_6H_5	CN	O
2	H	4-CH_3-C_6H_4	CN	O
3	$C(O)C_2H_5$	C_2H_5	CN	O
4	H	C_2H_5	CN	O
5	C(O)cyclopropyl	cyclopropyl	CN	O
6	H	cyclopropyl	CN	O
7	H	2,4,6-Cl_3-C_6H_2	CN	O
8	H	2,6-F_2-C_6H_3	CN	O
9	H	$(CH_2)_3CH_3$	CN	O
10	H	2,4-F_2-C_6H_3	CN	O
11	H	3,5-$(CF_3)_2$-C_6H_3	CN	O
12	H	1-CH_3-3-CF_3-pyrazol-4-yl	CN	O
13	H	CH_2OCH_3	CN	O
14	$CH_2OCH_2CH_3$	2,4-Cl_2-C_6H_3	CN	O

15	$CH_2C_6H_5$	2,4-Cl_2-C_6H_3	CN	O
16	H	2,4-Cl_2-C_6H_3	$C(S)NH_2$	O
17	H	2,4-Cl_2-C_6H_3	CN	O
18	H	pyridin-2-yl	CN	O
19	H	3,5-Cl_2-C_6H_3	CN	O
20	$C(O)CH_3$	2,4-Cl_2-C_6H_3	CN	O
21	H	CH_3	CN	O
22	H	2,4-Cl_2-C_6H_3	CN	S
23	CH_3	2,4-Cl_2-C_6H_3	CN	O
24	$C(O)CH_3$	3,5-Cl_2-C_6H_3	CN	O
25	H	3,5-F_2-C_6H_3	CN	O
26	H	4-vinyl-C_6H_4	CN	O
27	H	3-NO_2-C_6H_4	CN	O
28	H	indan-5-yl	CN	O
29	H	naphth-1-yl	CN	O
30	H	4-$CH_3CH_2CH_2O$-C_6H_4	CN	O
31	H	naphth-2-yl	CN	O
32	H	C_6F_5	CN	O
33	H	2-Cl-C_6H_4	CN	O
34	H	2-Cl-4-F-C_6H_4	CN	O
35	H	2,5-Cl_2-C_6H_4	CN	O
36	H	2-CH_3O-C_6H_4	CN	O
37	H	2-CF_3-C_6H_4	CN	O
38	H	2-CH_3-C_6H_4	CN	O
39	H	3-CN-C_6H_4	CN	O
40	H	3-Cl-C_6H_4	CN	O
41	H	3,4-Cl_2-C_6H_4	CN	O
42	H	3,4-$(CH_3O)_2$-C_6H_4	CN	O
43	H	3-CH_3CH_2O-C_6H_4	CN	O
44	H	3-CH_3-C_6H_4	CN	O
45	H	4-CN-C_6H_4	CN	O
46	H	4-C_6H_5O-C_6H_4	CN	O

47	H	2,3,4-Cl_3-C_6H_2	CN	O
48	H	3,4-methylenedioxy-C_6H_4	CN	O
49	H	2,3-Cl_2-C_6H_3	CN	O
50	H	2-NO_2-C_6H_4	CN	O
51	H	4-NO_2-C_6H_4	CN	O
52	H	3,5-F_2-C_6H_3	CN	O
53	H	quinolin-8-yl	CN	O
54	H	4-Cl-C_6H_4	CN	O
55	H	2-Cl-4-CH_3SO_2-C_6H_3	CN	O
56	H	2-Br-C_6H_4	CN	O
57	H	3-Br-C_6H_4	CN	O
58	H	3-F-C_6H_4	CN	O
59	H	3-$N(CH_3)_2$-C_6H_4	CN	O
60	H	3-CF_3-C_6H_4	CN	O
61	H	3,5-$(CH_3)_2$-C_6H_3	CN	O
62	H	4-F-C_6H_4	CN	O
63	H	4-$N(CH_3)_2$-C_6H_4	CN	O
64	H	4-(CO_2CH_3)-C_6H_4	CN	O
65	H	4-CF_3-C_6H_4	CN	O
66	H	3-NO_2-4-Cl-C_6H_4	CN	O
67	H	3-(3-Cl-5-CF_3-pyridin-2-yloxy)-C_6H_4	CN	O
68	H	3,5-$(CH_3O)_2$-C_6H_4	CN	O
69	H	C_6H_5	$C(S)NH_2$	O
70	H	4-CH_3-C_6H_4	$C(S)NH_2$	O
71	$C(O)C_2H_5$	C_2H_5	$C(S)NH_2$	O
72	H	C_2H_5	$C(S)NH_2$	O
73	C(O)cyclopropyl	cyclopropyl	$C(S)NH_2$	O
74	H	cyclopropyl	$C(S)NH_2$	O
75	H	2,4,6-Cl_3-C_6H_2	$C(S)NH_2$	O
76	H	2,6-F_2-C_6H_3	$C(S)NH_2$	O
77	H	$(CH_2)_3CH_3$	$C(S)NH_2$	O

78	H	2,4-F_2-C_6H_3	$C(S)NH_2$	O
79	H	3,5-$(CF_3)_2$-C_6H_3	$C(S)NH_2$	O
80	H	1-CH_3-3-CF_3-pyrazol-4-yl	$C(S)NH_2$	O
81	H	CH_2OCH_3	$C(S)NH_2$	O
82	CH_2OCH_3	2,4-Cl_2-C_6H_3	$C(S)NH_2$	O
83	$CH_2C_6H_5$	2,4-Cl_2-C_6H_3	$C(S)NH_2$	O
84	H	pyridin-2-yl	$C(S)NH_2$	O
85	H	3,5-Cl_2-C_6H_3	$C(S)NH_2$	O
86	$C(O)CH_3$	2,4-Cl_2-C_6H_3	$C(S)NH_2$	O
87	H	CH_3	$C(S)NH_2$	O
88	H	2,4-Cl_2-C_6H_3	$C(S)NH_2$	S
89	CH_3	2,4-Cl_2-C_6H_3	$C(S)NH_2$	O
90	$C(O)CH_3$	3,5-Cl_2-C_6H_3	$C(S)NH_2$	O
91	H	3,5-F_2-C_6H_3	$C(S)NH_2$	O
92	H	4-vinyl-C_6H_4	$C(S)NH_2$	O
93	H	3-NO_2-C_6H_4	$C(S)NH_2$	O
94	H	indan-5-yl	$C(S)NH_2$	O
95	H	naphth-1-yl	$C(S)NH_2$	O
96	H	4-$CH_3CH_2CH_2$-C_6H_4	$C(S)NH_2$	O
97	H	naphth-2-yl	$C(S)NH_2$	O
98	H	C_6F_5	$C(S)NH_2$	O
99	H	2-Cl-C_6H_4	$C(S)NH_2$	O
100	H	2-Cl-4-F-C_6H_4	$C(S)NH_2$	O
101	H	2,5-Cl_2-C_6H_4	$C(S)NH_2$	O
102	H	2-CH_3O-C_6H_4	$C(S)NH_2$	O
103	H	2-CF_3-C_6H_4	$C(S)NH_2$	O
104	H	2-CH_3-C_6H_4	$C(S)NH_2$	O
105	H	3-CN-C_6H_4	$C(S)NH_2$	O
106	H	3-Cl-C_6H_4	$C(S)NH_2$	O
107	H	3,4-Cl_2-C_6H_4	$C(S)NH_2$	O
108	H	3,4-$(CH_3O)_2$-C_6H_4	$C(S)NH_2$	O
109	H	3-CH_3CH_2O-C_6H_4	$C(S)NH_2$	O

110	H	3-CH_3-C_6H_4	$C(S)NH_2$	O
111	H	4-CN-C_6H_4	$C(S)NH_2$	O
112	H	4-C_6H_5O-C_6H_4	$C(S)NH_2$	O
113	H	2,3,4-Cl_3-C_6H_2	$C(S)NH_2$	O
114	H	3,4-methylenedioxy-C_6H_4	$C(S)NH_2$	O
115	H	2,3-Cl_2-C_6H_3	$C(S)NH_2$	O
116	H	2-NO_2-C_6H_4	$C(S)NH_2$	O
117	H	4-NO_2-C_6H_4	$C(S)NH_2$	O
118	H	3,5-F_2-C_6H_3	$C(S)NH_2$	O
119	H	quinolin-8-yl	$C(S)NH_2$	O
120	H	4-Cl-C_6H_4	$C(S)NH_2$	O
121	H	2-Cl-4-CH_3SO_2-C_6H_3	$C(S)NH_2$	O
122	H	2-Br-C_6H_4	$C(S)NH_2$	O
123	H	3-Br-C_6H_4	$C(S)NH_2$	O
124	H	3-F-C_6H_4	$C(S)NH_2$	O
125	H	3-$N(CH_3)_2$-C_6H_4	$C(S)NH_2$	O
126	H	3-CF_3-C_6H_4	$C(S)NH_2$	O
127	H	3,5-$(CH_3)_2$-C_6H_3	$C(S)NH_2$	O
128	H	4-F-C_6H_4	$C(S)NH_2$	O
129	H	4-$N(CH_3)_2$-C_6H_4	$C(S)NH_2$	O
130	H	4-(CO_2CH_3)-C_6H_4	$C(S)NH_2$	O
131	H	4-CF_3-C_6H_4	$C(S)NH_2$	O
132	H	3-NO_2-4-Cl-C_6H_4	$C(S)NH_2$	O

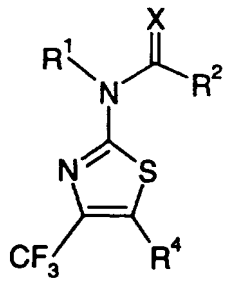
133	H	3-(3-Cl-5-CF_3-pyridin-2-yloxy)-C_6H_4	$C(S)NH_2$	O
134	H	3,5-$(CH_3O)_2$-C_6H_3	$C(S)NH_2$	O
135	H	3,4,5-$(CH_3O)_3$-C_6H_2	$C(S)NH_2$	O
136	$C(O)CH_3$	C_6H_5	CN	O
137	$C(O)CH_3$	4-CH_3-C_6H_4	CN	O
138	$C(O)CH_3$	C_2H_5	CN	O
139	$C(O)CH_3$	cyclopropyl	CN	O
140	$C(O)CH_3$	2,4,6-Cl_3-C_6H_2	CN	O
141	$C(O)CH_3$	2,6-F_2-C_6H_3	CN	O
142	$C(O)CH_3$	$(CH_2)_3CH_3$	CN	O
143	$C(O)CH_3$	2,4-F_2-C_6H_3	CN	O
144	$C(O)CH_3$	3,5-$(CF_3)_2$-C_6H_3	CN	O
145	$C(O)CH_3$	1-CH_3-3-CF_3-pyrazol-4-yl	CN	O
146	$C(O)CH_3$	CH_2OCH_3	CN	O
147	$C(O)CH_3$	pyridin-2-yl	CN	O
148	$C(O)CH_3$	CH_3	CN	O
149	$C(O)CH_3$	2,4-Cl_2-C_6H_3	CN	S
150	$C(O)CH_3$	3,5-F_2-C_6H_3	CN	O
151	$C(O)CH_3$	4-vinyl-C_6H_4	CN	O
512	$C(O)CH_3$	3-NO_2-C_6H_4	CN	O
153	$C(O)CH_3$	indan-5-yl	CN	O
154	$C(O)CH_3$	naphth-1-yl	CN	O
155	$C(O)CH_3$	4-$CH_3CH_2CH_2$-C_6H_4	CN	O
156	$C(O)CH_3$	naphth-2-yl	CN	O
157	$C(O)CH_3$	C_6F_5	CN	O
158	$C(O)CH_3$	2-Cl-C_6H_4	CN	O
159	$C(O)CH_3$	2-Cl-4-F-C_6H_4	CN	O
160	$C(O)CH_3$	2,5-Cl_2-C_6H_4	CN	O
161	$C(O)CH_3$	2-CH_3O-C_6H_4	CN	O
162	$C(O)CH_3$	2-CF_3-C_6H_4	CN	O

163	$C(O)CH_3$	2-CH_3-C_6H_4	CN	O
164	$C(O)CH_3$	3-CN-C_6H_4	CN	O
165	$C(O)CH_3$	3-Cl-C_6H_4	CN	O
166	$C(O)CH_3$	3,4-Cl_2-C_6H_4	CN	O
167	$C(O)CH_3$	3,4-$(CH_3O)_2$-C_6H_4	CN	O
168	$C(O)CH_3$	3-CH_3CH_2O-C_6H_4	CN	O
169	$C(O)CH_3$	3-CH_3-C_6H_4	CN	O
170	$C(O)CH_3$	4-CN-C_6H_4	CN	O
171	$C(O)CH_3$	4-C_6H_5O-C_6H_4	CN	O
172	$C(O)CH_3$	2,3,4-Cl_3-C_6H_2	CN	O
173	$C(O)CH_3$	3,4-methylenedioxy-C_6H_4	CN	O
174	$C(O)CH_3$	2,3-Cl_2-C_6H_3	CN	O
175	$C(O)CH_3$	2-NO_2-C_6H_4	CN	O
176	$C(O)CH_3$	4-NO_2-C_6H_4	CN	O
177	$C(O)CH_3$	3,5-F_2-C_6H_3	CN	O
178	$C(O)CH_3$	quinolin-8-yl	CN	O
179	$C(O)CH_3$	4-Cl-C_6H_4	CN	O
180	$C(O)CH_3$	2-Cl-4-CH_3SO_2-C_6H_3	CN	O
181	$C(O)CH_3$	2-Br-C_6H_4	CN	O
182	$C(O)CH_3$	3-Br-C_6H_4	CN	O
183	$C(O)CH_3$	3-F-C_6H_4	CN	O
184	$C(O)CH_3$	3-$N(CH_3)_2$-C_6H_4	CN	O
185	$C(O)CH_3$	3-CF_3-C_6H_4	CN	O
186	$C(O)CH_3$	3,5-$(CH_3)_2$-C_6H_3	CN	O
187	$C(O)CH_3$	4-F-C_6H_4	CN	O
188	$C(O)CH_3$	4-$N(CH_3)_2$-C_6H_4	CN	O
189	$C(O)CH_3$	4-(CO_2CH_3)-C_6H_4	CN	O
190	$C(O)CH_3$	4-CF_3-C_6H_4	CN	O
191	$C(O)CH_3$	3-NO_2-4-Cl-C_6H_4	CN	O

192	$C(O)CH_3$	3-(3-Cl-5-CF_3-pyridin-2-yloxy)-C_6H_4	CN	O
193	$C(O)CH_3$	3,5-$(CH_3O)_2$-C_6H_4	CN	O
194	$C(O)CH_3$	C_6H_5	$C(S)NH_2$	O
195	$C(O)CH_3H$	4-CH_3-C_6H_4	$C(S)NH_2$	O
196	$C(O)CH_3$	C_2H_5	$C(S)NH_2$	O
197	$C(O)CH_3$	cyclopropyl	$C(S)NH_2$	O
198	$C(O)CH_3$	2,4,6-Cl_3-C_6H_2	$C(S)NH_2$	O
199	$C(O)CH_3$	2,6-F_2-C_6H_3	$C(S)NH_2$	O
200	$C(O)CH_3$	$(CH_2)_3CH_3$	$C(S)NH_2$	O
201	$C(O)CH_3$	2,4-F_2-C_6H_3	$C(S)NH_2$	O
202	$C(O)CH_3$	3,5-$(CF_3)_2$-C_6H_3	$C(S)NH_2$	O
203	$C(O)CH_3$	1-CH_3-3-CF_3-pyrazol-4-yl	$C(S)NH_2$	O
204	$C(O)CH_3$	CH_2OCH_3	$C(S)NH_2$	O
205	$C(O)CH_3$	pyridin-2-yl	$C(S)NH_2$	O
206	$C(O)CH_3$	CH_3	$C(S)NH_2$	O
207	$C(O)CH_3$	3,5-F_2-C_6H_3	$C(S)NH_2$	O
208	$C(O)CH_3$	4-vinyl-C_6H_4	$C(S)NH_2$	O
209	$C(O)CH_3$	3-NO_2-C_6H_4	$C(S)NH_2$	O
210	$C(O)CH_3$	indan-5-yl	$C(S)NH_2$	O
211	$C(O)CH_3$	naphth-1-yl	$C(S)NH_2$	O
212	$C(O)CH_3$	4-$CH_3CH_2CH_2$-C_6H_4	$C(S)NH_2$	O
213	$C(O)CH_3$	naphth-2-yl	$C(S)NH_2$	O
214	$C(O)CH_3$	C_6F_5	$C(S)NH_2$	O
215	$C(O)CH_3$	2-Cl-C_6H_4	$C(S)NH_2$	O
216	$C(O)CH_3$	2-Cl-4-F-C_6H_4	$C(S)NH_2$	O
217	$C(O)CH_3$	2,5-Cl_2-C_6H_4	$C(S)NH_2$	O
218	$C(O)CH_3$	2-CH_3O-C_6H_4	$C(S)NH_2$	O
219	$C(O)CH_3$	2-CF_3-C_6H_4	$C(S)NH_2$	O
220	$C(O)CH_3$	2-CH_3-C_6H_4	$C(S)NH_2$	O
221	$C(O)CH_3$	3-CN-C_6H_4	$C(S)NH_2$	O

222	$C(O)CH_3$	3-Cl-C_6H_4	$C(S)NH_2$	O
223	$C(O)CH_3$	3,4-Cl_2-C_6H_4	$C(S)NH_2$	O
224	$C(O)CH_3$	3,4-$(CH_3O)_2$-C_6H_4	$C(S)NH_2$	O
225	$C(O)CH_3$	3-CH_3CH_2O-C_6H_4	$C(S)NH_2$	O
226	$C(O)CH_3$	3-CH_3-C_6H_4	$C(S)NH_2$	O
227	$C(O)CH_3$	4-CN-C_6H_4	$C(S)NH_2$	O
228	$C(O)CH_3$	4-C_6H_5O-C_6H_4	$C(S)NH_2$	O
229	$C(O)CH_3$	2,3,4-Cl_3-C_6H_2	$C(S)NH_2$	O
230	$C(O)CH_3$	3,4-methylenedioxy-C_6H_4	$C(S)NH_2$	O
231	$C(O)CH_3$	2,3-Cl_2-C_6H_3	$C(S)NH_2$	O
232	$C(O)CH_3$	2-NO_2-C_6H_4	$C(S)NH_2$	O
233	$C(O)CH_3$	4-NO_2-C_6H_4	$C(S)NH_2$	O
234	$C(O)CH_3$	3,5-F_2-C_6H_3	$C(S)NH_2$	O
235	$C(O)CH_3$	quinolin-8-yl	$C(S)NH_2$	O
236	$C(O)CH_3$	4-Cl-C_6H_4	$C(S)NH_2$	O
237	$C(O)CH_3$	2-Cl-4-CH_3SO_2-C_6H_3	$C(S)NH_2$	O
238	$C(O)CH_3$	2-Br-C_6H_4	$C(S)NH_2$	O
239	$C(O)CH_3$	3-Br-C_6H_4	$C(S)NH_2$	O
240	$C(O)CH_3$	3-F-C_6H_4	$C(S)NH_2$	O
241	$C(O)CH_3$	3-$N(CH_3)_2$-C_6H_4	$C(S)NH_2$	O
242	$C(O)CH_3$	3-CF_3-C_6H_4	$C(S)NH_2$	O
243	$C(O)CH_3$	3,5-$(CH_3)_2$-C_6H_3	$C(S)NH_2$	O
244	$C(O)CH_3$	4-F-C_6H_4	$C(S)NH_2$	O
245	$C(O)CH_3$	4-$N(CH_3)_2$-C_6H_4	$C(S)NH_2$	O
246	$C(O)CH_3$	4-(CO_2CH_3)-C_6H_4	$C(S)NH_2$	O
247	$C(O)CH_3$	4-CF_3-C_6H_4	$C(S)NH_2$	O
248	$C(O)CH_3$	3-NO_2-4-Cl-C_6H_4	$C(S)NH_2$	O
249	$C(O)CH_3$	3-(3-Cl-5-CF_3-pyridin-2-yloxy)-C_6H_4	$C(S)NH_2$	O
250	$C(O)CH_3$	3,5-$(CH_3O)_2$-C_6H_3	$C(S)NH_2$	O
251	$CH_2OCH_2CH_3$	2,4-Cl_2-C_6H_3	$C(S)NH_2$	O

In a further aspect the present invention provides a compound of formula (I):



(I)

wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$; provided that when X is oxygen and R^1 is hydrogen or alkyl then R^4 is not cyano.

In a further aspect the present invention provides an insecticidal, acaricidal or nematocidal composition comprising a compound of formula (I) wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy,

alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$; provided that when X is oxygen and R^1 is hydrogen or alkyl then R^4 is not cyano.

For some compounds listed in Table I melting point (mpt) or mass spectrum data are presented below in Table II.

TABLE II

Compound No.	Data
3	mpt 78-80°C
5	mpt 94-95°C
12	mpt 85-87°C
14	mpt 87-88°C
15	mpt 108-110°C
16	mpt 193-195°C
20	mpt 79-81°C
22	Mass spectrum M+ 381
23	mpt 125-127°C
24	mpt 167-169°C
25	mpt 126-128°C
26	Mass spectrum M+ 323
27	Mass spectrum M+ 342
28	Mass spectrum M+ 337
29	Mass spectrum M+ 347
30	Mass spectrum M+ 355
31	Mass spectrum M+ 347
32	Mass spectrum M+ 387
33	Mass spectrum M+ 331
34	Mass spectrum M+ 349
35	Mass spectrum M+ 366
36	Mass spectrum M+ 327
37	Mass spectrum M+ 365

38	Mass spectrum M+ 311
39	Mass spectrum M+ 322
40	Mass spectrum M+ 331
41	Mass spectrum M+ 366
42	Mass spectrum M+ 357
43	Mass spectrum M+ 341
44	Mass spectrum M+ 311
45	Mass spectrum M+ 322
46	Mass spectrum M+ 389
47	Mass spectrum M+ 400
48	Mass spectrum M+ 341
49	Mass spectrum M+ 366
50	Mass spectrum M+ 342
51	Mass spectrum M+ 342
52	Mass spectrum M+ 333
53	Mass spectrum M+ 348
54	Mass spectrum M+ 331
55	Mass spectrum M+ 409
56	Mass spectrum M+ 376
57	Mass spectrum M+ 376
58	Mass spectrum M+ 315
59	Mass spectrum M+ 340
60	Mass spectrum M+ 365
61	Mass spectrum M+ 325
62	Mass spectrum M+ 315
63	Mass spectrum M+ 340
64	Mass spectrum M+ 355
65	Mass spectrum M+ 365
66	Mass spectrum M+ 376
67	Mass spectrum M+ 492
68	Mass spectrum M+ 357

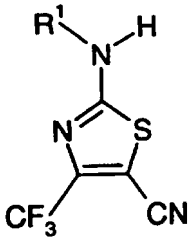
Compounds of formula (I) can be prepared by adaptation of processes disclosed in the art or by processes disclosed in EP-A1-0566138.

A compound of formula (I) wherein R^1 is alkyl or phenylalkyl can be prepared by reacting a compound of formula (I) wherein R^1 is hydrogen with a compound R^1Y, wherein Y is a suitable leaving group (such as a halogen or mesylate) in the presence of a suitable base.

A compound of formula (I) wherein R^1 is $C(O)R^3$ can be prepared by reacting a compound of formula (I) wherein R^1 is hydrogen with a compound $R^3C(O)Cl$ in the presence of a suitable base.

A compound of formula (I) wherein R^4 is $C(S)NH_2$ can be prepared by reacting a compound of formula (I) wherein R^4 is CN with hydrogen sulphide under suitable conditions (for example in the presence of triethylamine and pyridine).

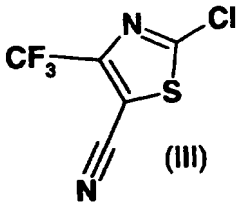
A compound of formula (I) wherein R^4 is CN and X is oxygen can be prepared by reacting a compound of formula (II):



(II)

with a compound $R^2C(O)Cl$ in the presence of a base.

A compound of formula (I) wherein R^1 is hydrogen, R^4 is CN and X is sulphur can be prepared by reacting a compound of formula (III):



(III)

with a sulphonamide $R^2C(S)NH_2$ under suitable conditions (such as in the presence of sodium hydride and in N,N-dimethylformamide as solvent).

In a further aspect the present invention provides a process for preparing novel compounds of formula (I).

Insect, acarine and nematode pests which may be combated and controlled by the compounds of formula (I) include such pests as Lepidoptera, Diptera, Homoptera and Coleoptera (including Diabrotica i.e. corn rootworms). The pests include those pests associated with agriculture (which term includes the growing of crops for food and fibre products), horticulture and animal husbandry, forestry, the storage of products of vegetable origin, such as fruit, grain and timber, and also those pests associated with the transmission of diseases of man and animals. Examples of insect and acarine pest species which may be controlled by the compounds of formula (I) include: Myzus persicae (aphid), Aphis gossypii (aphid), Aphis fabae (aphid), Aedes aegypti (mosquito), Anopheles spp. (mosquitos), Culex spp. (mosquitos), Dysdercus fasciatus (capsid), Musca domestica (housefly), Pieris brassicae (white butterfly), Plutella xylostella (diamond back moth), Phaedon cochleariae (mustard beetle), Aonidiella spp. (scale insects), Trialeurodes spp. (white flies), Bemisia tabaci (white fly), Blattella germanica (cockroach), Periplaneta americana (cockroach), Blatta orientalis (cockroach) Spodoptera littoralis (cotton leafworm), Heliothis virescens (tobacco budworm) Chortiocetes terminifera (locust), Diabrotica spp. (rootworms), Agrotis spp. (cutworms), Chilo partellus (maize stem borer), Nilaparvata lugens (planthopper), Nephotettix cincticeps (leafhopper), Panonychus ulmi (European red mite), Panonychus citri (citrus red mite), Tetranychus urticae (two-spotted spider mite), Tetranychus cinnabarinus (carmine spider mite), Phyllcoptruta oleivora (citrus rust mite), Polyphagotarsonemus latus (broad mite) and Brevipalpus spp. (mites).

In order to apply the compounds of formula (I) to the locus of the nematode, insect or acarid pest, or to a plant susceptible to attack by the nematode, insect or acarid pest, the compound is usually formulated into a composition which includes in addition to a compound of formula (I) a suitable inert diluent or carrier material, and, optionally, a surface active agent. The amount of composition generally applied for the control of insect, acarine or nematode pests gives a rate of active ingredient from 0.01 to 10 kg per hectare, preferably from 0.1 to 6 kg per hectare.

The compositions can be applied to the soil, plant or seed, to the locus of the pests, or to the habitat of the pests, in the form of dusting powders, wettable powders, granules (slow or fast release), emulsion or suspension concentrates, liquid solutions, emulsions, seed dressings, fogging/smoke formulations or controlled release compositions, such as microencapsulated granules or suspensions.

Dusting powders are formulated by mixing the active ingredient with one or more finely divided solid carriers and/or diluents, for example natural clays, kaolin, pyrophyllite, bentonite, alumina, montmorillonite, kieselguhr, chalk, diatomaceous earths, calcium phosphates, calcium and magnesium carbonates, sulphur, lime, flours, talc and other organic and inorganic solid carriers.

Granules are formed either by absorbing the active ingredient in a porous granular material for example pumice, attapulgite clays, fuller's earth, kieselguhr, diatomaceous earths, ground corn cobs, and the like, or on to hard core materials such as sands, silicates, mineral carbonates, sulphates, phosphates, or the like. Agents which are commonly used to aid in impregnation, binding or coating the solid carriers include aliphatic and aromatic petroleum solvents, alcohols, polyvinyl acetates, polyvinyl alcohols, ethers, ketones, esters, dextrins, sugars and vegetable oils. with the active ingredient. Other additives may also be included, such as emulsifying agents, wetting agents or dispersing agents.

Microencapsulated formulations (microcapsule suspensions CS) or other controlled release formulations may also be used, particularly for slow release over a period of time, and for seed treatment.

Alternatively the compositions may be in the form of liquid preparations to be used as dips, irrigation additives or sprays, which are generally aqueous dispersions or emulsions of the active ingredient in the presence of one or more known wetting agents, dispersing agents or emulsifying agents (surface active agents). The compositions which are to be used in the form of aqueous dispersions or emulsions are generally supplied in the form of an emulsifiable concentrate (EC) or a suspension concentrate (SC) containing a high proportion of the active ingredient or ingredients. An EC is a homogeneous liquid composition, usually containing the active ingredient dissolved in a substantially non-volatile organic solvent. An SC is a fine particle size dispersion of solid active ingredient in water. To apply the concentrates they are diluted in water and are usually applied by means of a spray to the area to be treated.

Suitable liquid solvents for ECs include methyl ketones, methyl isobutyl ketone, cyclohexanone, xylenes, toluene, chlorobenzene, paraffins, kerosene, white oil, alcohols, (for example, butanol), methylnaphthalene, trimethylbenzene, trichloroethylene, N-methyl-2-pyrrolidone and tetrahydrofurfuryl alcohol (THFA).

Wetting agents, dispersing agents and emulsifying agents may be of the cationic, anionic or non-ionic type. Suitable agents of the cationic type include, for example, quaternary ammonium compounds, for example cetyltrimethyl ammonium bromide. Suitable agents of the anionic type include, for example, soaps, salts of aliphatic monoesters of sulphuric acid, for example sodium lauryl sulphate, salts of sulphonated aromatic compounds, for example sodium dodecylbenzenesulphonate, sodium, calcium or ammonium lignosulphonate, or butylnaphthalene sulphonate, and a mixture of the sodium salts of diisopropyl- and triisopropylnaphthalene sulphonates. Suitable agents of the non-ionic type include, for example, the condensation products of ethylene oxide with fatty alcohols such as oleyl alcohol or cetyl alcohol, or with alkyl phenols such as octyl phenol, nonyl phenol and octyl cresol. Other non-ionic agents are the partial esters derived from long chain fatty acids and hexitol anhydrides, the condensation products of the said partial esters with ethylene oxide, and the lecithins.

These concentrates are often required to withstand storage for prolonged periods and after such storage, to be capable of dilution with water to form aqueous preparations which remain homogeneous for a sufficient time to enable them to be applied by conventional spray equipment. The concentrates may contain 10-85% by weight of the active ingredient or ingredients. When diluted to form aqueous preparations such preparations may contain varying amounts of the active ingredient depending upon the purpose for which they are to be used.

The compounds of formula (I) may also be formulated as powders (dry seed treatment DS or water dispersible powder WS) or liquids (flowable concentrate FS, liquid seed treatment LS, or microcapsule suspension CS) for use in seed treatments.

In use the compositions are applied to the insect pests, to the locus of the pests, to the habitat of the pests, or to growing plants liable to infestation by the pests, by any of the known means of applying pesticidal compositions, for example, by dusting, spraying, or incorporation of granules.

The compound of formula (I) may be admixed with one or more additional active ingredients such as a further insecticide, acaricide or nematocide or a synergist, herbicide, fungicide or plant growth regulator where appropriate.

Suitable additional active ingredients for inclusion in admixture with a compound of formula (I) include compounds which will broaden the spectrum of activity of the

compositions or increase their persistence in the location of the pest. They may synergise the activity of the compound of formula (I) or complement the activity for example by increasing the speed of effect or overcoming repellency. Additionally multi-component mixtures of this type may help to overcome or prevent the development of resistance to individual components. The particular additional active ingredient included will depend upon the intended utility of the mixture and the type of complementary action required. Examples of suitable insecticides include the following:

a) Pyrethroids such as permethrin, esfenvalerate, deltamethrin, cyhalothrin in particular lambda-cyhalothrin, biphenthrin, fenpropathrin, cyfluthrin, tefluthrin, fish safe pyrethroids for example ethofenprox, natural pyrethrin, tetramethrin, s-bioallethrin, fenfluthrin, prallethrin and 5-benzyl-3-furylmethyl-(E)-(1R,3S)-2,2-dimethyl-3-(2-oxothiolan-3-ylidenemethyl)cyclopropane carboxylate;

b) Organophosphates such as profenofos, sulprofos, methyl parathion, azinphos-methyl, demeton-s-methyl, heptenophos, thiometon, fenamiphos, monocrotophos, profenophos, triazophos, methamidophos, dimethoate, phosphamidon, malathion, chloropyrifos, phosalone, terbufos, fensulfothion, fonofos, phorate, phoxim, pyrimiphos-methyl, pyrimiphos-ethyl, fenitrothion or diazinon;

c) Carbamates (including aryl carbamates) such as pirimicarb, cloethocarb, carbofuran, furathiocarb, ethiofencarb, aldicarb, thiofurox, carbosulfan, bendiocarb, fenobucarb, propoxur or oxamyl;

d) Benzoyl ureas such as triflumuron, or chlorfluazuron;

e) Organic tin compounds such as cyhexatin, fenbutatin oxide, azocyclotin;

f) Macrolides such as avermectins or milbemycins, for example such as abamectin, ivermectin, and milbemycin;

g) Hormones and pheromones;

h) Organochlorine compounds such as benzene hexachloride, DDT, chlordane or dieldrin;

i) Amidines, such as chlordimeform or amitraz;

j) Fumigant agents;

k) Imidacloprid;

l) Spinosad.

In addition to the major chemical classes of insecticide listed above, other insecticides having particular targets may be employed in the mixture if appropriate for the

intended utility of the mixture. For instance selective insecticides for particular crops, for example stemborer specific insecticides for use in rice such as cartap or buprofezin can be employed. Alternatively insecticides specific for particular insect species/stages for example ovo-larvicides such as chlofentezine, flubenzimine, hexythiazox and tetradifon, motilicides such as dicofol or propargite, acaricides such as bromopropylate, chlorobenzilate, or growth regulators such as hydramethylron, cyromazine, methoprene, chlorofluazuron and diflubenzuron may also be included in the compositions.

Examples of suitable synergists for use in the compositions include piperonyl butoxide, sesamax, safroxan and dodecyl imidazole.

Suitable herbicides, fungicides and plant-growth regulators for inclusion in the compositions will depend upon the intended target and the effect required.

An example of a rice selective herbicide which can be included is propanil, an example of a plant growth regulator for use in cotton is "Pix", and examples of fungicides for use in rice include blasticides such as blasticidin-S. The ratio of the compounds of formula (I) to the other active ingredient in the composition will depend upon a number of factors including type of target, effect required from the mixture etc. However in general, the additional active ingredient of the composition will be applied at about the rate as it is usually employed, or at a slightly lower rate if synergism occurs.

The invention is illustrated by the following Examples. Examples 1 to 6 illustrate the preparation of a range of compounds of formula (I). The following abbreviations are used:

DMF = N,N-dimethylformamide	s = singlet	t = triplet
THF = tetrahydrofuran	bs = broad singlet	q = quartet
dd = doublet of doublets	m = multiplet	d = doublet

Examples 7 to 14 illustrate formulations suitable for the application of the compounds of formula (I) according to the invention. The following ingredients are referred to by their Registered Trade Marks and have the composition as shown below.

Registered Trade Mark	Composition
Synperonic NP8 } Synperonic NP13 } Synperonic OP10 }	Nonylphenol-ethylene oxide condensate
Aromasol H	Alkylbenzene solvent
Solvesso 200	Inert organic diluent
Keltrol	Polysaccharide

EXAMPLE 1

This Example describes the preparation of [N-(2,4-dichlorobenzoyl)]-2-amino-4-trifluoromethyl-5-thiocarbamoylthiazole (Compound No. 16 Table I).

2-(2,4-Dichlorobenzamido)-4-trifluoromethyl-5-cyanothiazole (0.5g) was mixed with pyridine (10ml) and triethylamine (0.2ml), and hydrogen sulphide was bubbled through the mixture for 20 minutes. The reaction was heated at 50°C for 2 hours, and then cooled and evaporated to dryness. The residue was chromatographed on silica gel eluting with ethyl acetate: hexane 1:1, to yield the desired product as a yellow solid (0.344g), mpt 193-195°C.

^{1}H NMR ($CDCl_3$): δ 7.37(dd,1H), 7.49(d,1H), 7.59(d,1H), 8.19(s,1H), 9.38(s,1H) ppm.

EXAMPLE 2

This Example describes the preparation of [N-(2,4-Dichloro-thiobenzoyl)]-2-amino-4-trifluoromethyl-5-cyanothiazole (Compound No. 22 Table I).

2,4-Dichlorothiobenzamide (0.5g) in dry DMF (3ml) was added dropwise to sodium hydride (0.08g of 80% dispersion in oil), under nitrogen. The resulting yellow solution was stirred at room temperature for 0.5 hour, cooled to 10°C, and 2-chloro-4-trifluoro-5-cyanothiazole (0.618g) in dry DMF (1ml) was added dropwise. The reaction mixture was then allowed to warm to room temperature, poured into water and extracted with ethyl acetate. The combined organics were washed with brine, dried over magnesium sulphate, filtered and evaporated to give the crude product. This was purified by flash chromatography , eluting with ethyl acetate: hexane 1:4, to give the desired product as a gum which crystallised (0.013g). (Mass spectrum M^+ 381.)

EXAMPLE 3

This Example describes the preparation of [N-(2,4-Dichlorobenzoyl)-N-(acetyl)]-2-amino-4-trifluoromethyl-5-cyanothiazole (Compound No. 20 Table I).

Preparation of [N-(2,4-Dichlorobenzoyl)]-2-amino-4-trifluoromethyl-5-cyanothiazole (0.4g) was dissolved in dioxane (10ml) and triethylamine (0.17ml), and acetyl chloride (0.1ml) was added. The reaction mixture was heated at 60°C for 1 hour, and a further 0.5ml of acetyl chloride was added and heating at 60°C continued for a further hour. The reaction was cooled to room temperature, poured into water and extracted with ethyl acetate. The combined organics were washed with brine, dried over magnesium sulphate, filtered and evaporated to give the crude product. This was purified by flash chromatography, eluting with ethyl acetate: hexane 1:4, to give the desired product as a gum which crystallised (0.212g) mpt 79-81°C.

^{1}H NMR ($CDCl_3$): δ 2.59(s,3H), 7.40(s,1H), 7.42(dd,1H), 7.75(d,1H) ppm.

EXAMPLE 4

This Example describes the preparation of [N-(2,4-Dichlorobenzoyl)-N-(methyl)]-2-amino-4-trifluoromethyl-5-cyanothiazole (Compound No. 23 Table I).

2-Methylamino-4-trifluoromethyl-5-cyanothiazole (0.45g), 2,4-dichloro-benzoyl chloride (0.543g) and triethylamine (0.36ml), were heated at 60°C for 1 hour. The mixture was cooled, poured into water, and extracted into ethyl acetate. The organics were washed with sodium bicarbonate, water and brine, dried over magnesium sulphate, filtered and evaporated to give the crude product. This was purified by flash chromatography, eluting with ethyl acetate: hexane 1:4, to give the desired product as a white solid (0.4g), mpt 125-127°C.

^{1}H NMR ($CDCl_3$): δ 3.62(s,3H), 7.37(d,1H), 7.48(dd,1H), 7.58(d,1H) ppm.

EXAMPLE 5

This Example describes the preparation of [N-(2,4-Dichlorobenzoyl)-N-(ethoxymethyl)]-2-amino-4-trifluoromethyl-5-cyanothiazole (Compound No. 14 Table I).

A solution of ethyl chloromethyl ether (0.31g) in dichloromethane (1ml) was added to a rapidly stirred solution 2-(2,4-dichlorobenzamido)-4-trifluoromethyl-5-cyanothiazole (0.52g), benzyl triethylammonium chloride (0.02g) in dichloromethane (5ml) and sodium hydroxide (3.4g of a 52% aqueous solution) at about 8°C, and was then allowed to warm to room temperature. After 15 minutes the reaction mixture was extracted with

dichloromethane, dried over magnesium sulphate , filtered and evaporated to give the crude product as a gum. This was chromatographed on silica gel eluting with hexane: tert-butyl methyl ether 9:1, to give the desired product as a white solid (0.34g), mpt 87-88°C.

^{1}H NMR ($CDCl_3$): δ 1.14(t,3H), 3.58(q,2H), 5.47(bs,2H), 7.44(d,1H), 7.44(s,1H), 7.54(d,1H) ppm.

EXAMPLE 6

This Example describes the preparation of [N-(2,4-dichlorobenzoyl)-N-(benzyl)]-2-amino-4-trifluoromethyl-5-cyanothiazole (Compound No. 15 Table I).

Sodium hydride (0.036g of an 80% dispersion in oil) was added to a solution 2-(2,4-dichlorobenzamido)-4-trifluoromethyl-5-cyanothiazole (0.4g) in dry THF (10ml), and the mixture stirred for 30 minutes. Benzyl bromide (0.186g) was added , and the reaction mixture stirred at room temperature for 3 hours, stood overnight and then refluxed for 5 hours. The reaction was poured into water, extracted with ethyl acetate and the organic extracts dried over magnesium sulphate, filtered and evaporated to give the crude product as a yellow gum. This was chromatographed on silica gel eluting with hexane: ethyl acetate 7:3, to give the desired product as a white solid (0.178g), mpt 108-110°C.

^{1}H NMR ($CDCl_3$): δ 5.2(s,2H), 6.95(m,2H), 7.15(m,3H), 7.5-7.9(m,3H) ppm.

EXAMPLE 7

This Example illustrates an emulsifiable concentrate composition which is readily convertible by dilution with water into a liquid preparation suitable for spraying purposes. The concentrate has the following composition:

	% Weight
Compound No. 1	25.5
SYNPERONIC NP13	2.5
Calcium dodecylbenzenenesulphonate	2.5
AROMASOL H	70

EXAMPLE 8

This Example illustrates a wettable powder composition which is readily convertible by dilution with water into a liquid preparation suitable for spraying purposes. The wettable powder has the following composition:

	% Weight
Compound No. 13	25.0
Silica	25.0
Sodium lignosulphonate	5.0
Sodium lauryl sulphate	2.0
Kaolinite	43.0

EXAMPLE 9

This Example illustrates a dusting powder which may be applied directly to plants or other surfaces and comprises 1% by weight of Compound No. 25 and 99% by weight of talc.

EXAMPLE 10

This Example illustrates a concentrated liquid formulation suitable for application by ultra low volume techniques after mixing with paraffinic diluents.

	% Weight
Compound No. 29	90.0
SOLVESSO 200	10.0

EXAMPLE 11

This Example illustrates a capsule suspension concentrate which is readily convertible by dilution with water to form a preparation suitable for application as an aqueous spray.

	% Weight
Compound No. 43	10.0
Alkylbenzene solvent (e.g. AROMASOL H)	5.0
Toluene di-isocyanate	3.0
Ethylenediamine	2.0
Polyvinyl alcohol	2.0
Bentonite	1.5
Polysaccharide (e.g. KELTROL)	0.1
Water	76.4

EXAMPLE 12

A ready for use granular formulation:

	% Weight
Compound No. 4	0.5
SOLVESSO 200	0.2
nonylphenol ethoxylate (eg Synperonic NP8)	0.1
Calcium carbonate granules (0.3-0.7 mm)	99.2

EXAMPLE 13

An aqueous suspension concentrate:

	% Weight
Compound No. 8	5.0
Kaolinite	15.0
Sodium lignosulphonate	3.0
nonylphenolethoxylate (eg Synperonic NP 8)	1.5
propylene glycol	10.0
Bentonite	2.0
Polysaccharide (eg Kéltrol)	0.1
Bactericide (eg Proxel; Proxel is a registered Trade Mark)	0.1
Water	63.3

EXAMPLE 14

This Example illustrates a water dispersible granule formulation.

	% Weight
Compound No. 20	5
Silica	5
Sodium lignosulphate	10
Sodium dioctylsulphosuccinate	5
Sodium acetate	10
Montmorillonite powder	65

EXAMPLE 15

This Example illustrates the insecticidal properties of the compounds of formula (I). The activity of the compounds of formula (I) was determined using a variety of pests. The pests were treated with a liquid composition containing 500 parts per million (ppm) by weight of the compound unless otherwise stated. The compositions were made by dissolving the compound in acetone and ethanol (50:50) mixture and diluting the solutions with water containing 0.05% by weight of a wetting agent sold under the trade name "SYNPERONIC" NP8 until the liquid composition contained the required concentration of the compound. "SYNPERONIC" is a Registered Trade Mark.

The test procedure adopted with regard to each pest was basically the same and comprised supporting a number of the pests on a medium which was usually a substrate, a host plant or a foodstuff on which the pests feed, and treating either or both the medium and the pests with the compositions. The mortality of the pests was then assessed at periods usually varying from two to five days after the treatment.

The results indicate a grading of mortality (score) and are designated as 5 or 9 wherein 5 indicates 40-79% mortality and 9 indicates 80-100% mortality; a blank indicates that either the compound was not tested or that no meaningful result was obtained.

The results of the tests are presented in Table III. The following abbreviations are used in Table III:

Tu = Tetranychus urticae
Mp = Myzus persicae
Md = Musca domestica (kd = knockdown; kl = kill)
Hv = Heliothis virescens
Se = Spodoptera exigua
Mi = Megloidogyne incognita

TABLE III

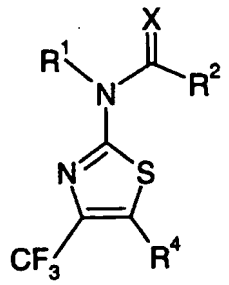
Compound No.	Tu	Mp	Md (kd)	Md (kl)	Hv	Se	Mi
1		5			9	9	
2		5					5
3	9	9					
4	9					5	
5	9	5			5	5	9

6	9	5			5	5	9
7	9	9	5	9			
8				5	5	9	
9	9						
10	9	9		9	9	9	9
11	9			9	9	9	9
12		5					
13	5	5					5
14	9	5		9	9	5	
15	9	5		9	9		9
16	5	5		9	5	5	9
17	9	9		9	9	9	9
18				9			
19	9	5	5	9	9	9	
20	9	9	5	9	9	9	
21					5	9	
22					9	5	5
23				9		9	
26		5			5		
27	9	9				5	
28	9					9	
29						5	
30	9						
31	5	5				5	
32	9						9
33	5	9		9	9	9	
34	5					5	9
35	9	9	9	9	9	9	9
36	5						
37	9	9	5	9	5	5	
38	5	9		5		9	
39	9					5	

40	9	9	9	9	9	9	
41	9	5		5	9	5	
42	9	9		5	5	9	9
43	5	9	5			5	
44	5				5	5	9
45	9	5					9
46	9	5				5	
47	5						
48	9				5	5	
49	9	9	5	9	9	9	
50	5						9
51	9						9
52	9	9		9	9	9	9
53	9						9
54	9	9		9	9	9	9
55	5						9
56	5			9	9	5	9
57	9	9	5	5	9	9	
58	9	9	5	9	9	9	
60	9	9	5	9	9	9	9
61					5	5	9
62	5	9		9	9	9	9
63			5	9			
64							9
65	9	9			9	9	9
67				5	9	9	9
68	5				5	5	

CLAIMS

1. The use as an insecticide, acaricide or nematocide of a compound of formula (I):

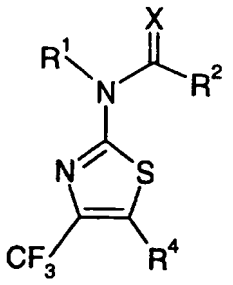


(I)

wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$.

2. The use of a composition comprising an insecticidally, acaricidally or nematicidally effective amount of a compound of formula (I) as defined in claim 1 and a carrier or diluent to combat and control insect pests at a locus.

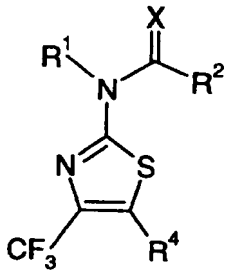
3. A compound of formula (I):



(I)

wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$; provided that when X is oxygen and R^1 is hydrogen or alkyl then R^4 is not cyano.

4. An insecticidal, acaricidal or nematocidal composition comprising a compound of formula (I):



(I)

wherein X is oxygen or sulphur; R^1 is hydrogen, alkyl, phenylalkyl, alkoxyalkyl or $C(O)R^3$; R^2 and R^3 are, independently, phenyl, phenylalkyl, naphthyl, alkyl, cycloalkyl, alkenyl, alkynyl or heterocyclyl groups; said alkyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkenyl, alkynyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said alkenyl and alkynyl groups being optionally substituted by one or more of halogen, cyano, haloalkyl, alkoxy or haloalkoxy; said phenylalkyl, phenyl, naphthyl, cycloalkyl and heterocyclyl groups being optionally substituted by one or more of halogen, nitro, cyano, alkyl, alkenyl, alkynyl, haloalkyl, alkoxy, alkoxycarbonyl, alkylcarbonyl, alkylcarbonyloxy, alkylenedioxy, amino, alkylamino, haloalkoxy, alkylthio, alkylsulfonyl, haloalkenyl, alkoxycarbonylalkyl or alkoxycarbonylalkoxy; said phenylalkyl, phenyl, naphthyl and heterocyclyl groups may additionally be fused to a cycloalkyl ring; and R^4 is cyano or $C(S)NH_2$; provided that when X is oxygen and R^1 is hydrogen or alkyl then R^4 is not cyano.

5. Process for preparing a compound of formula (I) as claimed in claim 3.

The Patent Office 33

INVESTOR IN PEOPLE

Application No: GB 9821302.8 **Examiner:** Peter Davey
Claims searched: 1-5 **Date of search:** 1 December 1998

Patents Act 1977
Search Report under Section 17

Databases searched:

UK Patent Office collections, including GB, EP, WO & US patent specifications, in:

UK Cl (Ed.P): C2C (CKV, CSN), A5E (EN)

Int Cl (Ed.6): C07D 277/56, A01N 43/78

Other: Online: CAS ONLINE

Documents considered to be relevant:

Category	Identity of document and relevant passage	Relevant to claims
A	EP 0566138 A1 (HODOGAYA), see eg. claims 1 and 3	1-5

X	Document indicating lack of novelty or inventive step	A	Document indicating technological background and/or state of the art.
Y	Document indicating lack of inventive step if combined with one or more other documents of same category.	P	Document published on or after the declared priority date but before the filing date of this invention.
&	Member of the same patent family	E	Patent document published on or after, but with priority date earlier than, the filing date of this application.